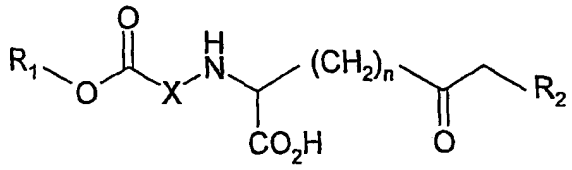
CLAIMS

1. A compound having the following formula I:



I

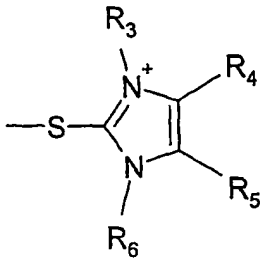
wherein:

'X' represents an amino acid group;

'n' is an integer between 1 and 4;

'R_1' represents benzyl, t-butyl or 9-fluorenylmethyl; and

'R_2' represents



wherein R_3, R_4, R_5 and R_6 each independently represent lower alkyl

or $-S^+R_7R_8$, wherein R_7 and R_8 each independently represent lower alkyl

or a pharmaceutically and/or veterinarily acceptable derivative thereof.

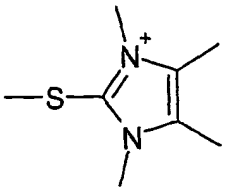
2. A compound according to Claim 1 wherein X is an *L*-amino acid group.

3. A compound according to Claim 1 or 2 wherein X is selected from the group consisting of phenylalanine, glutamine (or an N-substituted derivative thereof), isoleucine, alanine, glycine, tyrosine, proline, serine, lysine and glutamic acid.

4. A compound according to any one of the preceding claims wherein 'n' is 2.

5. A compound according to any one of the preceding claims wherein R_1 is benzyl.

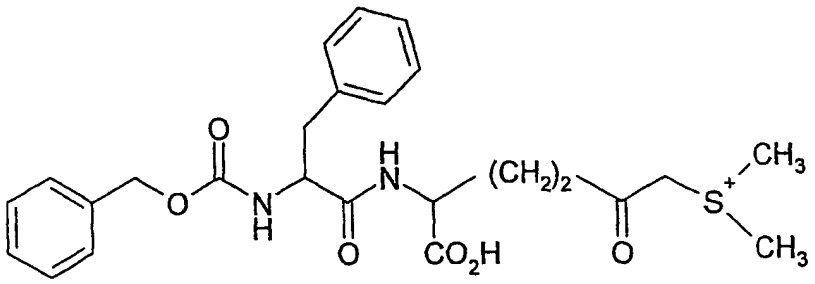
6. A compound according to any one of the preceding claims wherein R_2 represents



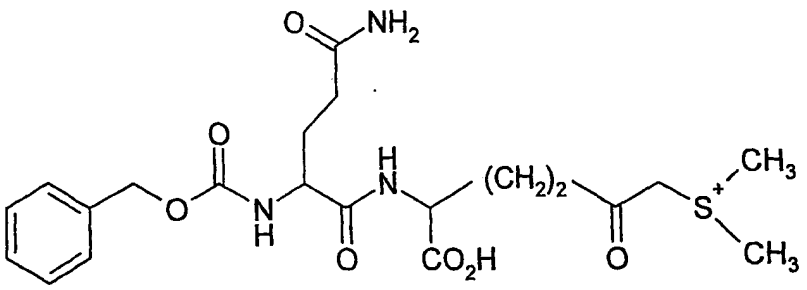
7. A compounds according to any one of the preceding claims wherein R_2 represents $-S^+R_7R_8$, wherein R_7 and R_8 each independently represent lower alkyl.

8. A compound according to any one of the preceding claims wherein R_3, R_4, R_5, R_6, R_7 and/or R_8 are $-CH_3$ or $-CHCH_2$.

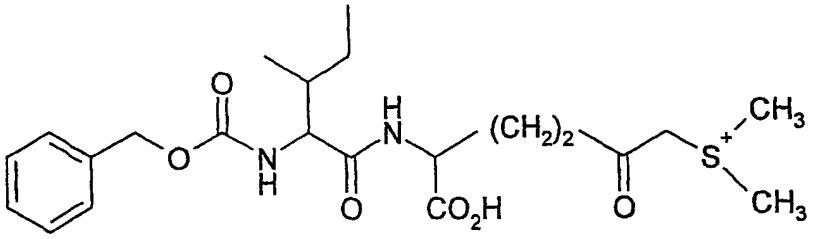
9. A compound according to Claim 1 having the following formula:



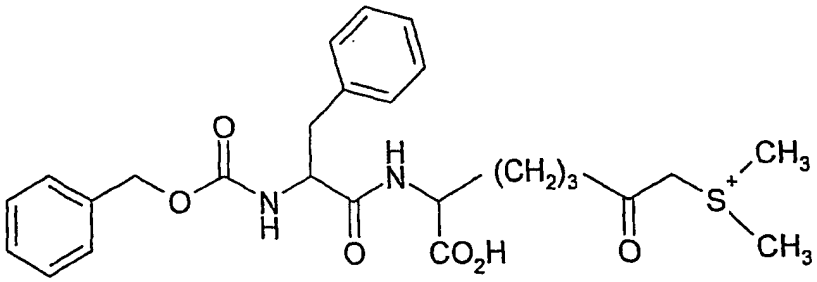
10. A compound according to Claim 1 having the following formula:



11. A compound according to Claim 1 having the following formula:

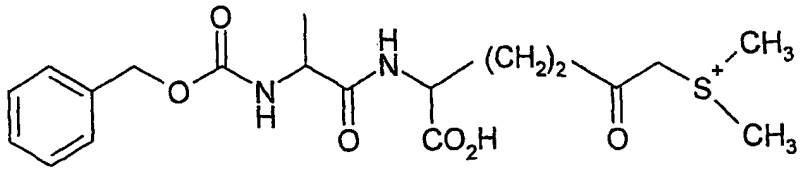


12. A compound according to Claim 1 having the following formula:

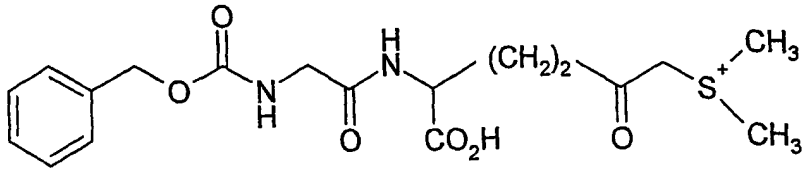


13. A compound according to Claim 1 having the following formula:

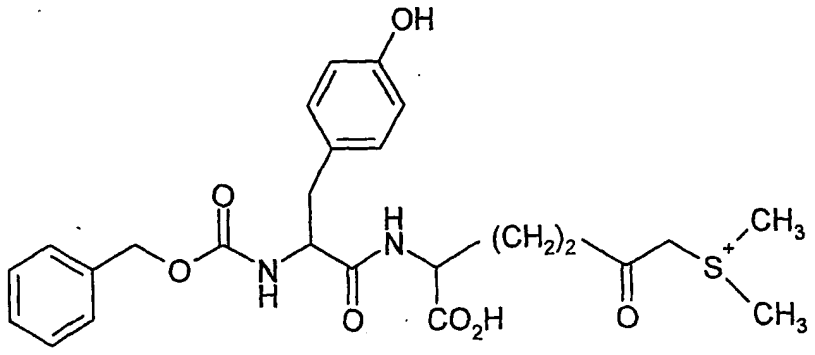
14. A compound according to Claim 1 having the following formula:



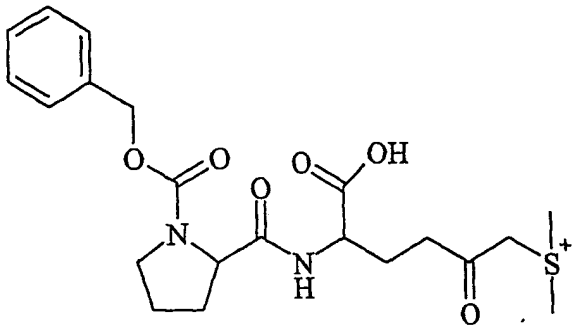
15. A compound according to Claim 1 having the following formula:



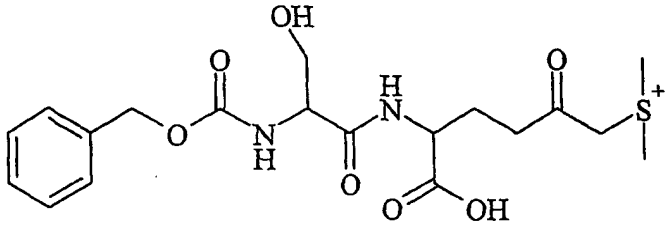
16. A compound according to Claim 1 having the following formula:



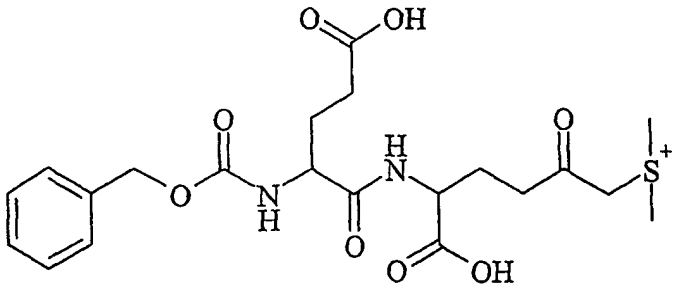
17. A compound according to Claim 1 having the following formula:



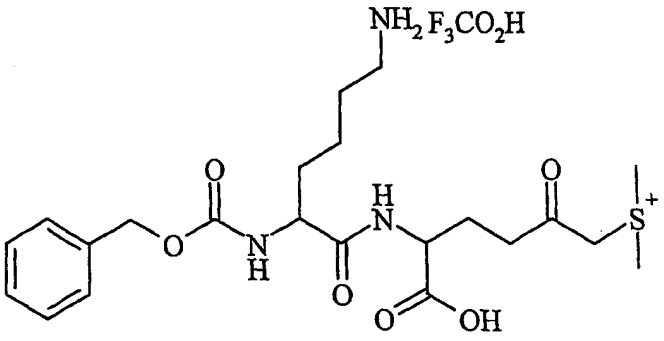
18. A compound according to Claim 1 having the following formula:



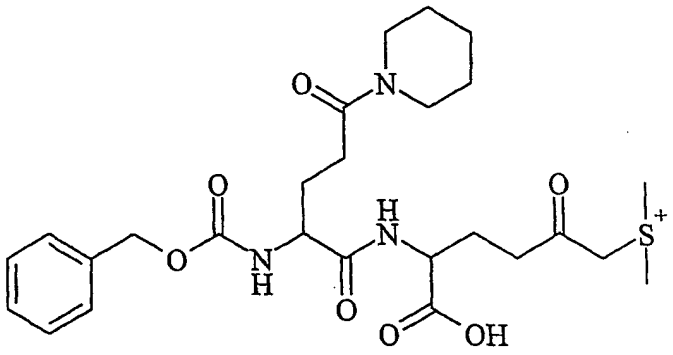
19. A compound according to Claim 1 having the following formula:



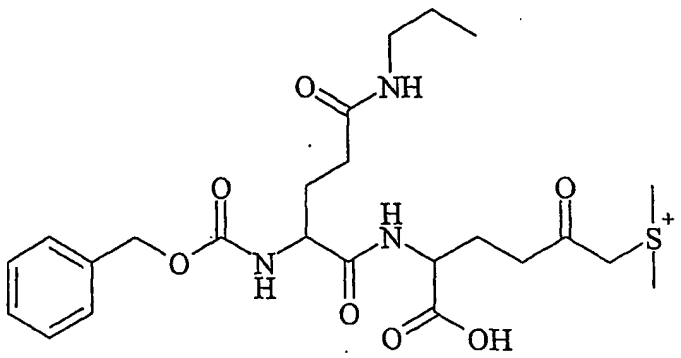
20. A compound according to Claim 1 having the following formula:



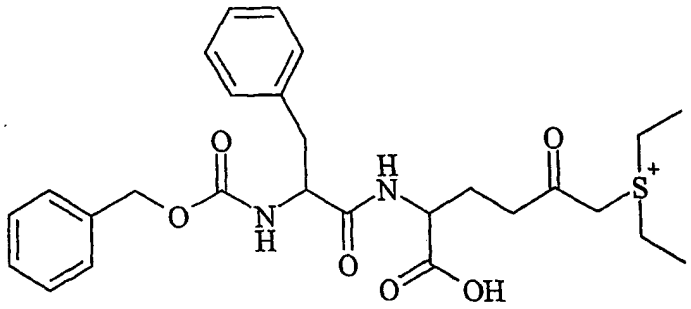
21. A compound according to Claim 1 having the following formula:



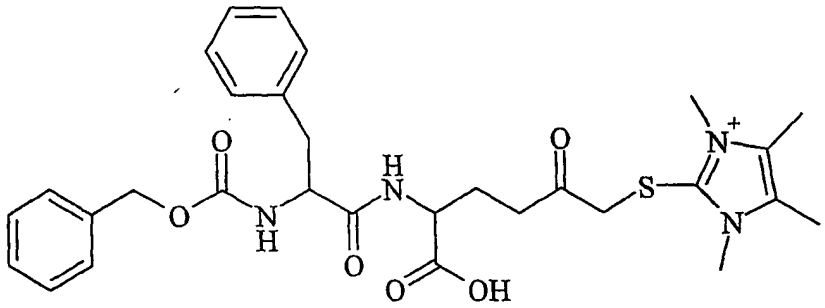
22. A compound according to Claim 1 having the following formula:



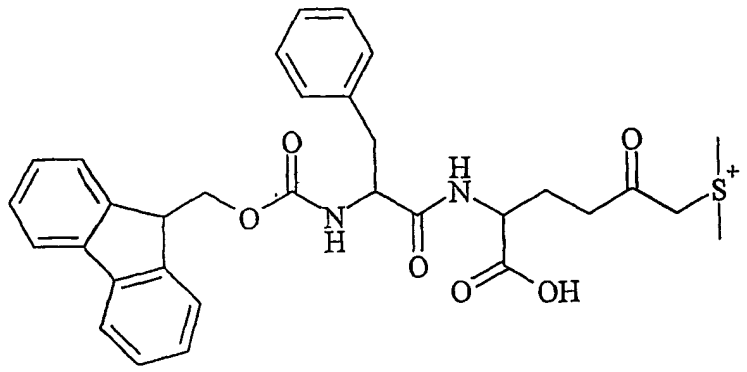
23. A compound according to Claim 1 having the following formula:



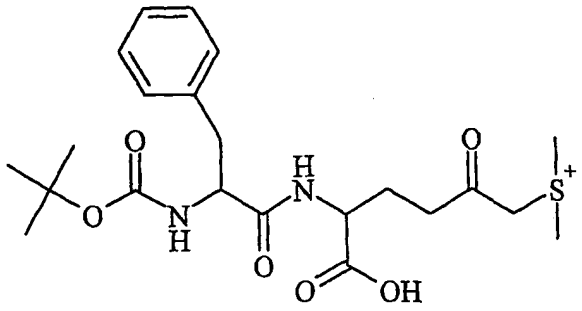
24. A compound according to Claim 1 having the following formula:



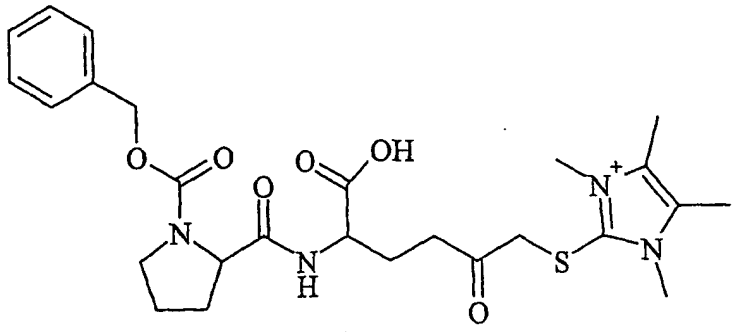
25. A compound according to Claim 1 having the following formula:



26. A compound according to Claim 1 having the following formula:



27. A compound according to Claim 1 having the following formula:



28. A compound according to any one of Claims 1 to 27 in the form of a bromide salt.

29. A pharmaceutical formulation comprising a compound according to any one of Claims 1 to 28 and a pharmaceutically acceptable carrier.

30. A method for making a compound according to any one of Claims 1 to 28 comprising the following steps:

(a) reacting an *N*-α-protected (*e.g.* CBZ, FMOC or BOC protected) amino acid *N*-hydroxy-succinimide or *para*-nitrophenyl ester with 6-diazo-5-oxo-L-norleucine, and treating the resulting coupled product with hydrogen bromide; and

(b) reacting the bromomethyl ketone produced in step (a) with dimethyl sulphide, diethyl sulphide or 1,3,4,5-tetra-methyl mercapto-imidazoline-2-thione.

31. A method according to Claim 30 wherein the *N*-α-protected amino acid *N*-hydroxysuccinimide ester is CBZ, FMOC or BOC protected.

32. A method according to Claim 30 or 31 wherein step (a) comprises reacting an *N*-α-protected amino acid *N*-hydroxy-succinimide or *para*-nitrophenyl ester with 6-diazo-5-oxo-L-norleucine in the presence of tetrahydrofuran (THF), water and triethylamine followed by reacting the products thereof with hydrogen bromide in the presence of ethyl acetate.

33. A method according to Claim 31 or 32 wherein the *N*-α-CBZ-protected amino acid *N*-hydroxy-succinimide ester is selected from the group consisting of *N*-α-CBZ-*L*-phenylalanine *N*-hydroxy-succinimide ester, *N*-α-CBZ-*L*-glutamine *N*-hydroxy-succinimide ester, *N*-α-CBZ-*L*-isoleucine *N*-hydroxy-succinimide ester, *N*-α-CBZ-*L*-alaninal *N*-hydroxy-succinimide ester, *N*-α-CBZ-*L*-glycine

N-hydroxysuccinimide ester, *N*-α-CBZ-*L*-proline *N*-hydroxy-succinimide ester, *N*-α-CBZ-*L*-serine *N*-hydroxysuccinimide ester, *N*-α-CBZ-*L*-tyrosine *N*-hydroxysuccinimide ester, *N*-α-CBZ-*L*-glutamic acid *N*-hydroxysuccinimide ester, *N*-α-CBZ-*L*-lysine *N*-hydroxysuccinimide ester and *N*-α-CBZ-*L*-tyrosine *para*-nitrophenyl ester.

34. A method of treating a subject in need of treatment with a transglutaminase inhibitor comprising administering to said subject a compound according to any one of Claims 1 to 28 or a pharmaceutical formulation according to Claim 29.

35. A method according to Claim 34 wherein the compound or formulation is administered in an amount sufficient to inhibit, at least in part, tTGase-mediated protein modification.

36. A method according to Claim 34 or 35 wherein the subject has a disease/disorder selected from the group consisting of fibrosis, scarring, neurodegenerative diseases, autoimmune diseases, thrombosis, proliferative disorders, AIDS, psoriasis and inflammation (such as a chronic inflammatory disease).

37. A method according to any one of Claims 34 to 36 wherein the method is for treating fibrosis and/or renal scarring.

38. A method according to any one of Claims 34 to 36 wherein the subject has cancer.

39. A method according to any one of Claims 34 to 36 wherein the subject has fibrosis.

40. A method according to any one of Claims 34 to 36 wherein the subject has renal and/or tissue scarring.

41. A method according to Claim 40 wherein the subject has hypertrpohic scarring of the skin.

42. A method according to any one of Claims 34 to 41 wherein the subject is human.

43. A method according to any one of Claims 34 to 42 wherein the compound or formulation is administered repeatedly.

44. A method according to any one of Claims 34 to 43 wherein compound or formulation is administered systemically.

45. A method according to any one of Claims 34 to 43 wherein the compound or formulation is administered at or near a site of TGase-mediated protein modification.

46. A compound according to any one of Claims 1 to 28 for use in medicine.

47. Use of a compound according to any one of Claims 1 to 28 in the preparation of a medicament for inhibiting a transglutaminase

48. The use according to Claim 47 wherein the transglutaminase is a tissue transglutaminase.

49. The use according to Claim 47 or 48 wherein the medicament is for treating a disease/disorder selected from the group consisting of fibrosis, scarring, neurodegenerative diseases, autoimmune diseases, thrombosis, proliferative disorders, AIDS, psoriasis and inflammation (such as chronic inflammatory diseases).

50. The use according to any one of Claims 47 or 49 wherein the medicament is for treating cancer.

51. The use according to any one of Claims 47 or 49 wherein the medicament is for treating fibrosis and/or scarring.

52. The use according to Claim 51 wherein the medicament is for treating renal scarring.

53. A method for prevènting or treating rejection of a transplanted organ comprising contacting the organ with a compound according to any one of Claims 1 to 28.

54. Use of a compound according to any one of Claims 1 to 28 in the preparation of a medicament for preventing or treating rejection of a transplanted organ.

55. A method according to Claim 52or the use according to Claim 54 wherein the organ is a heart, lung, kidney or liver.

56. A method or use according to any one of Claims 53 to 55 wherein the organ is treated prior to transplantation.

57. A method or use according to any one of Claims 53 to 56 wherein the organ is treated during and/or after transplantation into a patient.

58. A compound having TGase inhibitory activity substantially as described herein with reference to Example 1.

59. A pharmaceutical formulation substantially as described herein with reference to Examples 1 and 6.

60. A method of treating a subject in need of treatment with a transglutaminase substantially as described herein with reference to the description.

61. Use of a compound according to any one of Claims 1 to 28 substantially as described herein with reference to the description.

62. A method for preventing or treating rejection of a transplanted organ substantially as described herein with reference to the description.